Name : .....

Roll No. : .....

Invigilator's Signature : .....

CS/M.PHARM/SEM-1/MPT-103(1)/2011-12

2011

ADVANCED PHARMACEUTICAL CHEMISTRY-I

Time Allotted : 3 Hours *Full Marks* : 70

The figures in the margin indicate full marks.

Candidates are required to give their answers in their own words as far as practicable.

GROUP – A

(Multiple Choice Type Questions)

1. Choose the correct alternatives for any *ten* of the following : 10 × 1 = 10

i) 1, 2, 4-trioxane ring is present in the structure of

a) artemether

b) docetaxel

c) fosmidonycin

d) lumefantrine.

ii) Out of following four drugs which one is a prodrug ?

a) Homocystine

b) Methotrexate

c) Cyclophosphamide

d) Carboplatin.

iii) Three barbituric acid derivatives like thiopental, secobarbital and barbital all are of almost similar pKa values but one is more active than others because of

a) greater acidity

b) high partition coefficient in octanol / H_2O system

c) smaller molar volume

d) greater structural similarity with endogenous ligand.

iv) Which of the following enzymes is inhibited by captopril ?

a) ACE

b) DNA-polymerase

c) Xanthine oxidase

d) Lipoxygenase.

v) Which of the following is the strongest bond between drug and receptor ?

a) Ionic bonding

b) Hydrogen bonding

c) Covalent bonding

d) van der Waals bonding.

vi) Lidocaine and procainamide have similar pharmacological action due to

a) terminal amino groups

b) reverse amide of each other

c) orthosubstitution

d) none of these.

vii) Halofantrine, a novel antimalarial agent belongs to the class

a) 9-Phenanthrene methanol

b) 8-Phenanthrene methanol

c) 5-Phenanthrene methanol

d) 4-aminoquinoline.

viii) All of the following compounds are aromatase inhibitors *except*

a) letrozole

b) anastrazole

c) 4-hydroxyandrostenedione

d) alloporinol.

ix) A free radical alkylating drug is

a) Carmustine

b) Thiotepa

c) Procarbazine

d) Altretamine.

x) Ritonovir is synthesized starting from

a) Epicholrhydrin

b) Dioxolane

c) Phenyl alanine

d) Hydrocynnamyl chloride.

xi) Scraup synthesis is used for the synthesis of

a) Proguanil

b) Quinacrine

c) Artether

d) Pamaquine.

GROUP – B

(Short Answer Type Questions)

Answer any *three* of the following. 3 × 5 = 15

2. What are the advantages and disadvantages of analogue design ?

3. Write a short note on per cent ionization.

4. Explain Rate Theory for drug action.

5. Briefly explain the mode of action of alkylating agent, showing how nucleophilic attack on unstable aziridine ring takes place.

6. Write a note on aromatase inhibitors.

GROUP – C

(Long Answer Type Questions)

Answer any *three* of the following. $3 \times 15 = 45$

7. a) In the receptor binding assay, What is meant by K_d and B_{max} ? Explain in short.

   b) Discuss graphical analysis of receptor binding assay.

   5 + 10

8. What are the different applications of prodrugs ? Explain each application with examples.

9. Write down the chemical classification of antimalarials. Describe the life cycle of malarial protozoa. Write down the M.O.A. of chloroquine. Discuss the S.A.R. of 8-amino quinolones. Write the synthetic pathway of Pamaquine.

   4 + 3 + 2 + 3 + 3

10. a) How does isosterism play an important role in the structural modification of drug ?

    b) Explain with examples the role of stereochemistry in producing the pharmacological activity. $7\frac{1}{2} + 7\frac{1}{2}$

11. a) Write briefly about structures of enzymes.

    b) What do you mean by K_{cat} inhibitors and transition state analogs ? Explain in short.

    c) Write notes on the following :

        i) ACE inhibitors

        ii) Cycloxygenase inhibitors. $4 + 5 + (2 \infty 3)$